=> fil reg; d stat que l9; fil capl; d que nos l10; fil uspatf; d que nos l11; fil marpat; d que nos l15
FILE 'REGISTRY' ENTERED AT 15:30:32 ON 03 AUG 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 1 AUG 2003 HIGHEST RN 559208-49-0
DICTIONARY FILE UPDATES: 1 AUG 2003 HIGHEST RN 559208-49-0

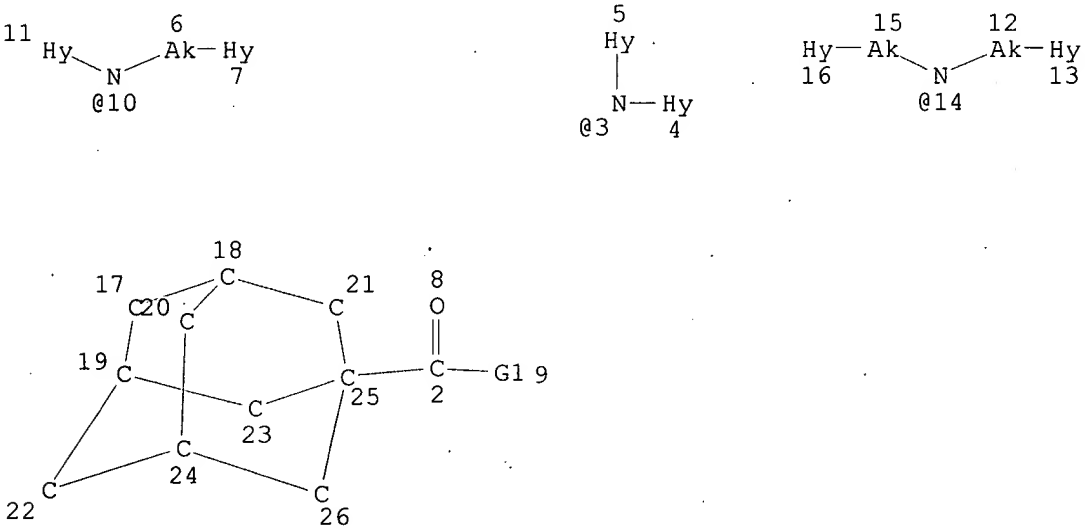
TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

L7 STR



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NODE ATTRIBUTES:
CONNECT IS E2 RC AT 6
CONNECT IS E2 RC AT 12
CONNECT IS E2 RC AT 15
DEFAULT MLEVEL IS ATOM
MLEVEL IS CLASS AT 4 5 6 7 11 12 13 15 16
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 25

STEREO ATTRIBUTES: NONE
L9 12 SEA FILE=REGISTRY SSS FUL L7

100.0% PROCESSED 9364 ITERATIONS 12 ANSWERS
SEARCH TIME: 00.00.01

FILE 'CAPLUS' ENTERED AT 15:30:32 ON 03 AUG 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 3 Aug 2003 VOL 139 ISS 6
FILE LAST UPDATED: 1 Aug 2003 (20030801/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

L7 STR
L9 12 SEA FILE=REGISTRY SSS FUL L7
L10 3 SEA FILE=CAPLUS ABB=ON L9

FILE 'USPATFULL' ENTERED AT 15:30:32 ON 03 AUG 2003

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 31 Jul 2003 (20030731/PD)
FILE LAST UPDATED: 31 Jul 2003 (20030731/ED)
HIGHEST GRANTED PATENT NUMBER: US6601238
HIGHEST APPLICATION PUBLICATION NUMBER: US2003145366
CA INDEXING IS CURRENT THROUGH 31 Jul 2003 (20030731/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 31 Jul 2003 (20030731/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2003
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2003

>>> USPAT2 is now available. USPATFULL contains full text of the original, i.e., the earliest published granted patents or applications. USPAT2 contains full text of the latest US publications, starting in 2001, for the inventions covered in USPATFULL. A USPATFULL record contains not only the original published document but also a list of any subsequent publications. The publication number, patent kind code, and publication date for all the US publications for an invention are displayed in the PI (Patent Information) field of USPATFULL records and may be searched in standard search fields, e.g., /PN, /PK, etc. <<<

>>> USPATFULL and USPAT2 can be accessed and searched together through the new cluster USPATALL. Type FILE USPATALL to <<<

```
>>>  enter this cluster.                                                <<<
>>>                                                                     <<<
>>>  Use USPATALL when searching terms such as patent assignees,        <<<
>>>  classifications, or claims, that may potentially change from      <<<
>>>  the earliest to the latest publication.                           <<<

This file contains CAS Registry Numbers for easy and accurate
substance identification.


L7              STR
L9           12 SEA FILE=REGISTRY SSS FUL L7
L11           2 SEA FILE=USPATFULL ABB=ON  L9


FILE 'MARPAT' ENTERED AT 15:30:32 ON 03 AUG 2003
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COPYRIGHT (C) 2003 American Chemical Society (ACS)

FILE CONTENT: 1988-PRESENT (VOL 104 ISS 15-VOL 139 ISS05)(20030801ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US    6590083 08 JUL 2003
DE   20300703 10 JUL 2003
EP    1324358 02 JUL 2003
JP 2003186251 03 JUL 2003
WO 2003055878 10 JUL 2003

Structure search limits have been raised.  See HELP SLIMIT for the new,
higher limits.


L7              STR
L14          30 SEA FILE=MARPAT SSS FUL L7
L15          28 SEA FILE=MARPAT ABB=ON  L14/COMPLETE


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FILE 'CAPLUS' ENTERED AT 15:30:37 ON 03 AUG 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPATFULL' ENTERED AT 15:30:37 ON 03 AUG 2003
CA INDEXING COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'MARPAT' ENTERED AT 15:30:37 ON 03 AUG 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2003 American Chemical Society (ACS)
PROCESSING COMPLETED FOR L10
PROCESSING COMPLETED FOR L11
PROCESSING COMPLETED FOR L15
L16          29 DUP REM L10 L11 L15 (4 DUPLICATES REMOVED)
                ANSWERS '1-3' FROM FILE CAPLUS
                ANSWER '4' FROM FILE USPATFULL
                ANSWERS '5-29' FROM FILE MARPAT

=> d ibib abs hitstr 1-4; d ibib abs qhit 5-29; fil cao; d que nos l12; fil hom
```
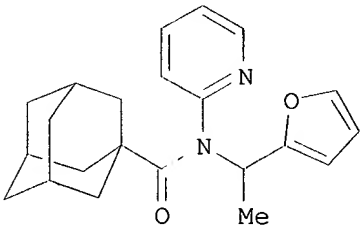

L16 ANSWER 1 OF 29 CAPLUS COPYRIGHT 2003 ACS on STN DUPLICATE 1
ACCESSION NUMBER: 2001:617997 CAPLUS
DOCUMENT NUMBER: 135:180707
TITLE: Preparation of N-pyridyl(or phenyl) 1-adamantanecarboxamides as LXR modulators
INVENTOR(S): Li, Leping; Medina, Julio Cesar; Shan, Bei
PATENT ASSIGNEE(S): Tularik Inc., USA
SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001060818	A1	20010823	WO 2000-US3806	20000214

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: WO 2000-US3806 20000214
OTHER SOURCE(S): MARPAT 135:180707
GI

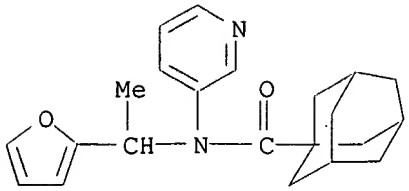


II

AB The title compds. ACONR1R2 [I; A = (hetero)alkyl; R1 = alkyl, aryl, arylalkyl, etc.; R2 = (hetero)aryl, (hetero)arylalkyl, etc.; NR1R2 = 5-8 membered ring], useful as diagnostic indicators of LXR.alpha. function, and in the treatment of disease states assocd. with cholesterol metab., particularly atherosclerosis and hypercholesterolemia, were prepd. Thus, treating 1-(2-furyl)ethanol with LDA in THF followed by addn. of MeSO3H, reacting the mesylate with 2-aminopyridine, and then amidation of the resulting [1-(furan-2-yl)ethyl](pyridin-2-yl)amine with 1-adamantanecarbonyl chloride afforded the carboxamide II. Biol. data for compds. I was given.

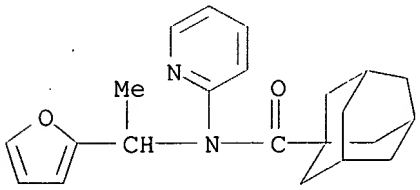
IT **301357-13-1P 332119-57-0P 355833-66-8P 355833-69-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-pyridyl(or phenyl) 1-adamantanecarboxamides as LXR modulators)

RN 301357-13-1 CAPLUS
CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, N-[1-(2-furanyl)ethyl]-N-3-pyridinyl- (9CI) (CA INDEX NAME)

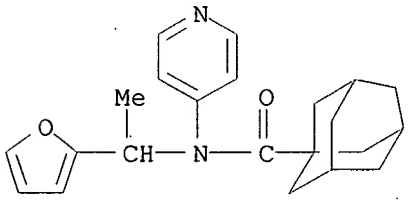


RN 332119-57-0 CAPLUS
CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, N-[1-(2-furanyl)ethyl]-N-2-pyridinyl- (9CI) (CA INDEX NAME)

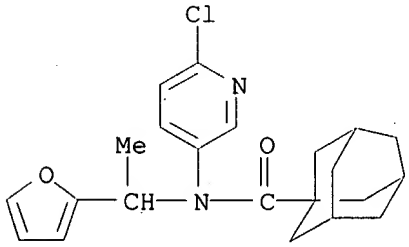
546 / 283.4
514 / 336



RN 355833-66-8 CAPLUS
CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, N-[1-(2-furanyl)ethyl]-N-4-pyridinyl- (9CI) (CA INDEX NAME)



RN 355833-69-1 CAPLUS
CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, N-(6-chloro-3-pyridinyl)-N-[1-(2-furanyl)ethyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 2 OF 29 CAPLUS COPYRIGHT 2003 ACS on STN DUPLICATE 2
ACCESSION NUMBER: 1995:793001 CAPLUS
DOCUMENT NUMBER: 123:340092
TITLE: Preparation of pyrido[3,4-b]indolecarboxamide serotoninergic agents and anxiolytics

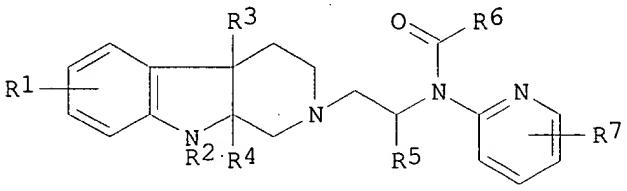
Searched by Barb O'Bryen, STIC 308-4291

INVENTOR(S): Commons, Thomas J.; Laclair, Christa M.; Christman, Susan
PATENT ASSIGNEE(S): American Home Products Corp., USA
SOURCE: U.S., 6 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5439915	A	19950808	US 1994-326636	19941020
WO 9613242	A2	19960509	WO 1995-US13126	19951003
WO 9613242	A3	19961227		
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TT, UA, UG, UZ, VN				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9537647	A1	19960523	AU 1995-37647	19951003

PRIORITY APPLN. INFO.: US 1994-326636 19941020
WO 1995-US13126 19951003

OTHER SOURCE(S): MARPAT 123:340092
GI



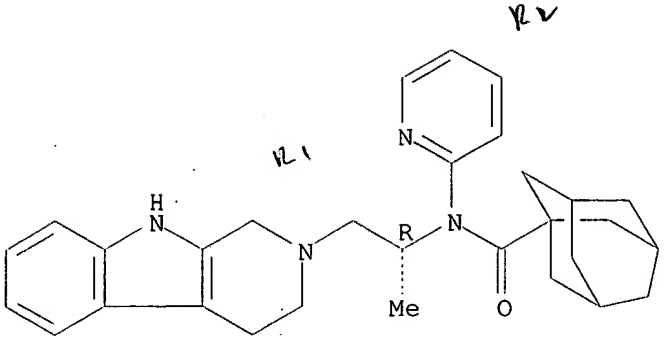
I

AB The title compds [I; R1, R7 =hydrogen, fluorine, chlorine, bromine, iodine, trifluoromethyl, cyano, nitro, CO2H, (un)substituted alkyl, alkenyl, alkoxy, cycloalkyl, tetrazolyl, etc.; R2, R5 = hydrogen, alkyl; R3, R4 = hydrogen or taken together with the carbon atoms to which they are attached form a double bond; R6 = alkyl, cycloalkyl, cycloalkylalkyl, bicyclic residue, etc.], which have affinity for the 5-HT1A receptor and are useful as anxiolytics, are prepd. Thus, cyclohexanecarboxylic acid [1-methyl-2-(1,3,4,9-tetrahydro-2H-pyrido[3,4-b]indol-2-yl)ethyl]pyridin-2-yl amide, m.p. 153-154.degree., was prepd. and demonstrated a IC50 of 34.9 nM in a serotonin 5-HT1A receptor binding assay (VanderMaelen et al., 1986).

IT **170864-79-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of pyrido[3,4-b]indolecarboxamide serotoninergic agents and anxiolytics)

RN 170864-79-6 CAPLUS
CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, N-[1-methyl-2-(1,3,4,9-tetrahydro-2H-pyrido[3,4-b]indol-2-yl)ethyl]-N-2-pyridinyl-, monohydrochloride, hydrate (2:1), (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

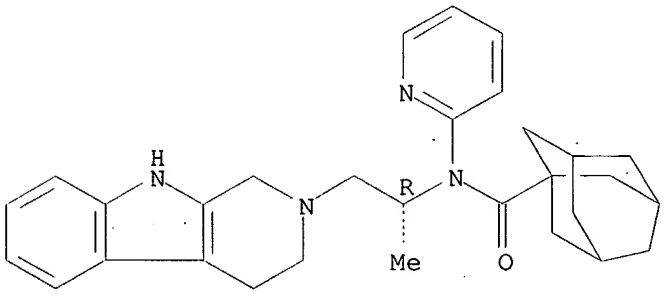


● HCl

● 1/2 H_2O

IT **170864-76-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyrido[3,4-b]indolecarboxamide serotoninergic agents and anxiolytics)
RN 170864-76-3 CAPLUS
CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, N-[1-methyl-2-(1,3,4,9-tetrahydro-2H-pyrido[3,4-b]indol-2-yl)ethyl]-N-2-pyridinyl-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L16 ANSWER 3 OF 29 CAPLUS COPYRIGHT 2003 ACS on STN DUPLICATE 3
ACCESSION NUMBER: 1993:169115 CAPLUS
DOCUMENT NUMBER: 118:169115
TITLE: Preparation of N-(acylaminoalkyl)piperazines as serotonin 5HT1A antagonists
INVENTOR(S): Cliffe, Ian Anthony; Mansell, Howard Langham
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., UK
SOURCE: Eur. Pat. Appl., 25 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

EP 512755	A2	19921111	EP 1992-303918	19920430
EP 512755	A3	19930303		
EP 512755	B1	19941214		
R: AT, BE, CH, DE, DK, ES, FR, GR, IT, LI, LU, NL, PT, SE				
ZA 9203081	A	19931028	ZA 1992-3081	19920428
AU 9215241	A1	19921105	AU 1992-15241	19920429
AU 645681	B2	19940120		
IL 101722	A1	19960514	IL 1992-101722	19920429
GB 2255337	A1	19921104	GB 1992-9340	19920430
GB 2255337	B2	19941214		
HU 61012	A2	19921130	HU 1992-1462	19920430
BR 9201624	A	19921215	BR 1992-1624	19920430
ES 2065133	T3	19950201	ES 1992-303918	19920430
RU 2193561	C2	20021127	RU 1992-5011552	19920430
CA 2067929	AA	19921103	CA 1992-2067929	19920501
CA 2067929	C	20020604		
JP 05170743	A2	19930709	JP 1992-112527	19920501
JP 3095521	B2	20001003		
CN 1098098	A	19950201	CN 1992-103153	19920502
CN 1040106	B	19981007		
SK 280133	B6	19990806	SK 1992-1344	19920504
CZ 286778	B6	20000712	CZ 1992-1344	19920504
US 6127357	A	20001003	US 1995-438812	19950511
CN 1206589	A	19990203	CN 1996-118586	19961206
CN 1084619	B	20020515		

PRIORITY APPLN. INFO.:

GB 1991-9475	A	19910502
GB 1991-27189	A	19911221
GB 1991-2789	A	19911221
US 1992-877898	B1	19920501
CS 1992-1344	A	19920504
US 1993-172686	B1	19931223

OTHER SOURCE(S): MARPAT 118:169115

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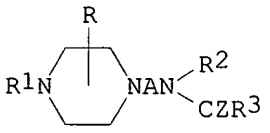

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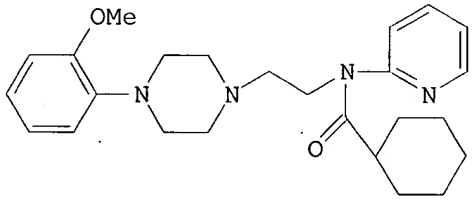


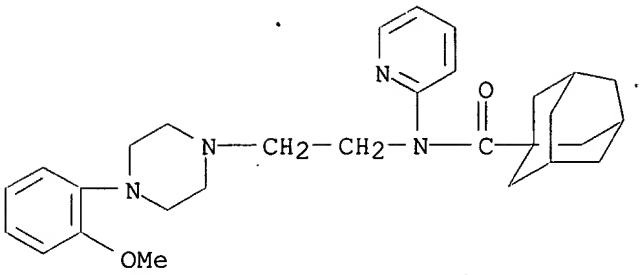
II

AB Title compds. [I; A = (alkyl-substituted) C2-4 alkylene; Z = O, S; R = H, alkyl; R1 = mono- or bicyclic aryl, heteroaryl; R2 = mono- or bicyclic heteroaryl; R3 = H, (cyclo)alkyl, cycloalkenyl, cycloalkylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, amino], were prepd. Thus, 1-(2-methoxyphenyl)-4-[2-(2-pyridylamino)ethyl]piperazine [prepn. starting from 2-chloro-N-(2-pyridinyl)acetamide and 1-(2-methoxyphenyl)piperazine given] was stirred with KH in DMF. Cyclohexanecarbonyl chloride was added to give title compd. II. II bound to 5-HT1A receptors in rat hippocampal membrane tissue with IC50 = 2.2 nM, and antagonized 5-carboxamidotryptamine in guinea pig ileum with pA2 = 8.7.

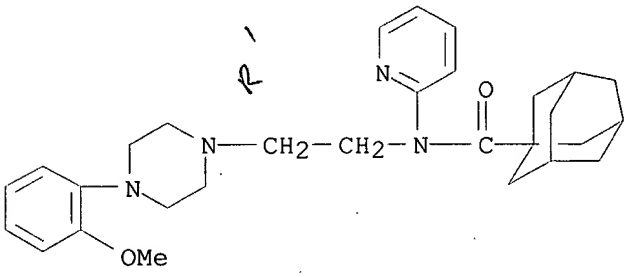
IT **146714-51-4P 146715-12-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as serotonin 5HT1A antagonist)

RN 146714-51-4 CAPLUS

CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, N-[2-[4-(2-methoxyphenyl)-1-piperazinyl]ethyl]-N-2-pyridinyl- (9CI) (CA INDEX NAME)



RN 146715-12-0 CAPLUS
CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, N-[2-[4-(2-methoxyphenyl)-1-piperazinyl]ethyl]-N-2-pyridinyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

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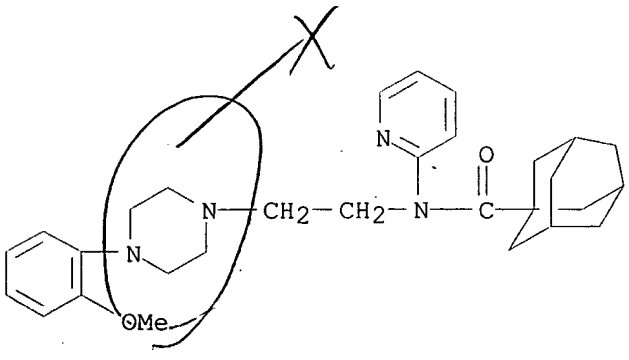
RN 146715-12-0 CAPLUS
CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, N-[2-[4-(2-methoxyphenyl)-1-piperazinyl]ethyl]-N-2-pyridinyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

L16 ANSWER 4 OF 29 USPATFULL on STN
ACCESSION NUMBER: 2000:131829 USPATFULL
TITLE: N-((phenyl, benzodioxinyl or N-

heteroarylpiperazinyl)alkyl)-N-(N-heteroaryl)substituted carboxamides

INVENTOR(S): Cliffe, Ian Anthony, Slough, United Kingdom
Mansell, Howard Langham, Burnham, United Kingdom

PATENT ASSIGNEE(S): John Wyeth & Brother, Ltd., Maidenhead, United Kingdom (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6127357		20001003
APPLICATION INFO.:	US 1995-438812		19950511 (8)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1993-172686, filed on 23 Dec 1993, now abandoned which is a continuation of Ser. No. US 1992-877898, filed on 1 May 1992, now abandoned

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1991-9475	19910502
	GB 1991-27189	19911221

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Bernhardt, Emily
LEGAL REPRESENTATIVE: Barrett, Rebecca R.
NUMBER OF CLAIMS: 43
EXEMPLARY CLAIM: 1
LINE COUNT: 1315

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

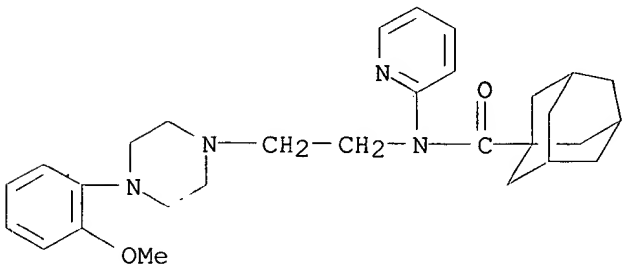
AB Piperazine derivatives of formula I ##STR1## and their pharmaceutically acceptable acid addition salts are 5-HT.sub.1A binding agents, particularly 5-HT.sub.1A antagonists and may be used, for example, as anxiolytics. In the formula A is C.sub.2-4 alkylene chain optionally substituted by lower alkyl, Z is oxygen or sulphur, R is hydrogen or lower alkyl, R.sup.1 is a mono or bicyclic aryl or heteroaryl radical, R.sup.2 is a mono or bicyclic heteroaryl radical and R.sup.3 is hydrogen or a specified radical such as lower alkyl, cycloalkyl, aryl, heteroaryl or optionally substituted amino.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **146714-51-4P 146715-12-0P**
(prepn. of, as serotonin 5HT1A antagonist)

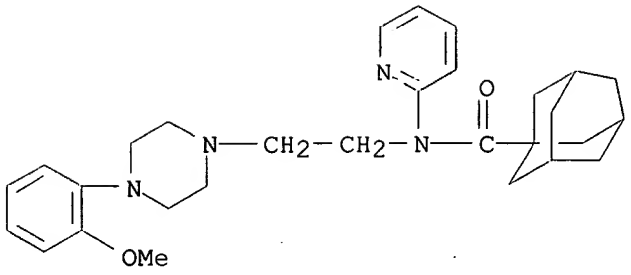
RN 146714-51-4 USPATFULL

CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, N-[2-[4-(2-methoxyphenyl)-1-piperazinyl]ethyl]-N-2-pyridinyl- (9CI) (CA INDEX NAME)



RN 146715-12-0 USPATFULL

CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, N-[2-[4-(2-methoxyphenyl)-1-piperazinyl]ethyl]-N-2-pyridinyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

L16 ANSWER 5 OF 29 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 138:331733 MARPAT
TITLE: Heteroindanes: a new class of potent cannabimimetic ligands
INVENTOR(S): Makriyannis, Alexandros; Liu, Qian
PATENT ASSIGNEE(S): University of Connecticut, USA
SOURCE: PCT Int. Appl., 58 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

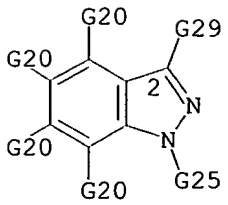
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003035005	A2	20030501	WO 2002-US34395	20021028

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-348869P 20011026

AB One aspect of the invention is concerned with cannabimimetic heteroindane analogs having affinities and/or selectivities for a cannabinoid receptor. A further aspect of the invention is concerned with pharmaceutical prepns. employing the inventive analogs and methods of administering therapeutically effective amts. of the inventive analogs to provide a physiol. effect. Compd. prepn. is described.

MSTR 1



G2 = adamantyl
G18 = Hy<EC (4-7) A (0-) N (0-) O (0-) S> (SO)
G19 = 144

N——G18
144

G30 = 219-2 220-213

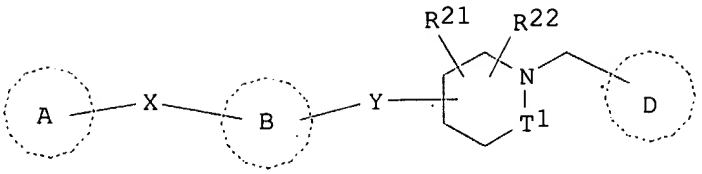
G19—C(O)
219 220

MPL: claim 1
NTE: and physiologically acceptable salts
NTE: additional ring formation also claimed

L16 ANSWER 6 OF 29 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 136:232201 MARPAT
TITLE: Preparation of cyclic amine derivatives as CCR3 antagonists
INVENTOR(S): Morihira, Koichiro; Inami, Hiroshi; Kubota, Hirokazu; Yokoyama, Kazuhiro; Morokata, Tatsuaki; Takeuchi, Makoto; Takahashi, Toshiya; Kaneko, Masayuki; Imaoka, Takayuki; Torii, Yuichi; Iura, Yosuke
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan; Toray Industries, Inc.
SOURCE: PCT Int. Appl., 92 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002018335	A1	20020307	WO 2001-JP7321	20010827
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001080187	A5	20020313	AU 2001-80187	20010827
PRIORITY APPLN. INFO.:			JP 2000-257451	20000828
			WO 2001-JP7321	20010827

GI



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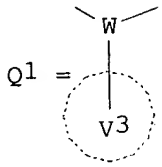


AB The title compds. I [ring A = (un)substituted heterocyclic ring, etc.; X = bond, O, CO, etc.; ring B = Q1, etc.; ring V3 = hydrocarbon ring, etc.; W = CH, N; Y = CO, etc.; R21, R22 = H, halo, etc.; T1 = (CH2)n; n = 0 - 2; ring D = (un)substituted aryl, etc.] are prepd. In an in vitro test (for CCR3 antagonism) using cells, compds. of this invention showed IC50 values of 0.001 .mu.M to 0.45 .mu.M.

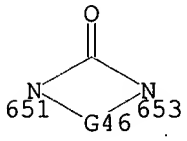
MSTR 1A

G1—G15—G18—G26—CH2—G30
1 2 3 4 5

G2 = 1-adamantyl
G3 = C(O)
G15 = 83

N—G17
83

G17 = Hy<RC (1-3)> (SO)
G18 = 651-2 653-4



G46 = R<TX "moiety to complete a saturated ring">
MPL: claim 1
NTE: or pharmacologically acceptable salts
NTE: substitution is restricted

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

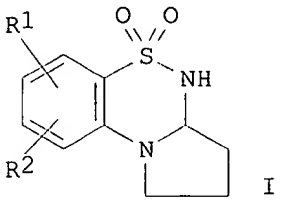
L16 ANSWER 7 OF 29 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 136:134797 MARPAT
TITLE: Preparation of pyrrolo[2,1-c][1,2,4]benzothiadiazines as AMPA receptor agonists

Searched by Barb O'Bryen, STIC 308-4291

INVENTOR(S): Cordi, Alex; Desos, Patrice; Lefoulon, Francois; Lestage, Pierre
PATENT ASSIGNEE(S): Les Laboratoires Servier, Fr.
SOURCE: Eur. Pat. Appl., 22 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1176148	A1	20020130	EP 2001-401839	20010710
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
FR 2812291	A1	20020201	FR 2000-9916	20000728
FR 2812291	B1	20021213		
JP 2002080479	A2	20020319	JP 2001-225821	20010726
NO 2001003710	A	20020129	NO 2001-3710	20010727
CN 1341599	A	20020327	CN 2001-124352	20010727
US 2002037894	A1	20020328	US 2001-916479	20010727
NZ 513203	A	20020927	NZ 2001-513203	20010727
BR 2001003070	A	20020806	BR 2001-3070	20010730

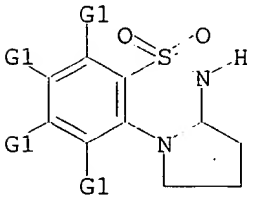
PRIORITY APPLN. INFO.: FR 2000-9916 20000728
GI



I

AB Pyrrolobenzothiadiazines I [R1 = acyloxy, acylamino; R2 = H, halogen, acyloxy, acylamino] were prepd. for use as AMPA receptor agonists in treatment of anxiety, depression, or neurodegenerative diseases. Thus, 2,5-H2N(MeO)C6H3SO2NH2 was cyclized with Cl(CH2)3COCl, reduced to the tetrahydro analog, and demethylated to give I [R1 = 7-OH, R2 = H] which was esterified to give I [R1 = 7-thiophene-2-carbonyloxy, R2 = H]. This compd. doubled the intensity of the currant induced by AMPA at 1.3 .mu.M.

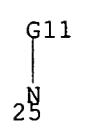
MSTR 1



G2 = 22

22 G5-C(O)—G4

G4 = adamantyl
G5 = 25



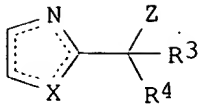
G11 = heteroaryl<EC (1-3) Q (0-) N (0-) O (0-) S (0)
OTHERQ, RC (1-2)> (SO)
MPL: claim 1
NTE: and pharmaceutically acceptable acid or base addition salts
STE: and isomers

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 8 OF 29 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 136:37606 MARPAT
TITLE: Synthesis of 2-substituted azoles via multicomponent reactions.
INVENTOR(S): Hlasta, Dennis
PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001094318	A2	20011213	WO 2001-US16727	20010522
WO 2001094318	A3	20020718		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002042520	A1	20020411	US 2001-862808	20010522
PRIORITY APPLN. INFO.:			US 2000-209252P	20000605

OTHER SOURCE(S): CASREACT 136:37606
GI



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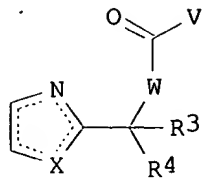
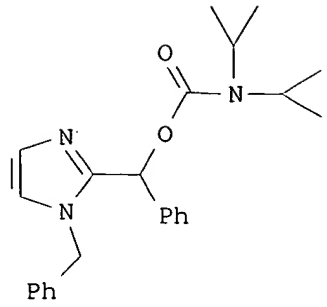


II



III

AB Title compds. [I; X = NH, NRa, S; Z = ORa, NRaRb, SR, cyano, N3, etc.; R3 = H, alkyl, (substituted) aralkyl, cycloalkyl, fluoroalkyl, COR, CO2R, etc.; R4 = alkyl, aryl, aralkyl, cycloalkyl, fluoroalkyl, alkenyl, alkynyl, COR, etc.; Ra, Rb = H, R, CO2R, COR, SO2R, SOR, etc.; R = alkyl, (substituted) aralkyl, cycloalkyl, adamantyl, norbornyl, fluoroalkyl, heterocyclyl], were prepd. by treatment of the corresponding unsubstituted azoles with ACOV (A = F, Cl, Br, OCOCMe3; V = sterically hindered group) and then with R3C(:W)R4 (W = O, NSO2R, NSOR, NCOR, NCO2R, NR; R as above) to give compds. (II; variables as above) followed by optional treatment of II with ZH (Z as above). Thus, 1-benzylimidazole in MeCN at 0.degree. was treated sequentially with diisopropylcarbamoyl chloride in MeCN, PhCHO, and diisopropylethylamine followed by 24 h reflux to give 78% title compd. (III).

MSTR 1

G1—G13

G1 = Hy<EC (5) A (1-4) Q (1-) N (0-) O (0-) S (0) OTHERQ (1-) C, AN (1-) C, AR (1-), BD (2) D, RC (1), RS (1) E5> (SO (1-3) G2)
G8 = adamantyl
G9 = Hy<EC (5-14) A (1-5) Q (0-) N (0-) O (0-) S (0) OTHERQ, RC (1-3)> (SO) / 83

83 C(O)-G6—G8

G14 = 109

109 G9
|
N—G6—G9

G16 = Ak<EC (1-) C, BD (0-) D (0-) T> (SO (1-) G18)

MPL: claim 1
NTE: also incorporates claims 4, 5, 8, 9 and 12
NTE: substitution is restricted

L16 ANSWER 9 OF 29 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 135:344484 MARPAT
TITLE: Preparation of N-acylimidazopyridineamine chlorides and analogs as .mu.-opiate receptor ligands
INVENTOR(S): Gerlach, Matthias; Maul, Corinna
PATENT ASSIGNEE(S): Gruenenthal G.m.b.H., Germany
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001081344	A1	20011101	WO 2001-EP3772	20010403
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 10019714	A1	20020110	DE 2000-10019714	20000420
EP 1274709	A1	20030115	EP 2001-931560	20010403
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NO 2002004838	A	20021007	NO 2002-4838	20021007
US 2003119842	A1	20030626	US 2002-273344	20021018
PRIORITY APPLN. INFO.:			DE 2000-10019714	20000420
			WO 2001-EP3772	20010403

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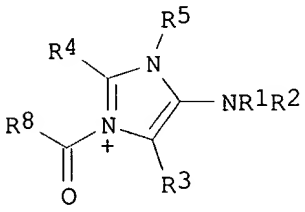
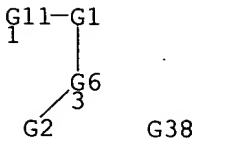


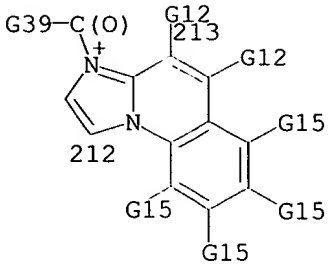
I

AB Title compds. (ICl-)[II; R1 = CMe3, cyclohexyl, CH2CO2Me, (un)substituted Ph, etc.; R2 = H or alkanoyl; R3 = Me, Ph, 2-furyl, 2-pyridinyl, etc.; R4R5 = (un)substituted CH:CHCH:CH, CH:NCH:CH, N:CHCH:CH, etc.; R8 = (cyclo)alkyl] were prepd. Thus, 2-aminopyridine was cyclocondensed with Me3CNC and PhCHO to give, after N-acylation, II (R1 = CMe3, R2 = H, R3 = Ph, R4R5 = CH:CHCH:CH, R8 = Me). Data for biol. activity of II were given.

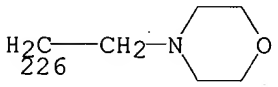
MSTR 1



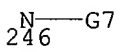
G1 = 212-1 213-3



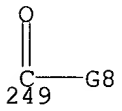
G2 = 226



G6 = 246



G7 = 249



G8 = adamantyl
G12 = alkyl<(1-8)>
MPL: claim 1
NTE: substitution is restricted
NTE: additional substitution also claimed

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

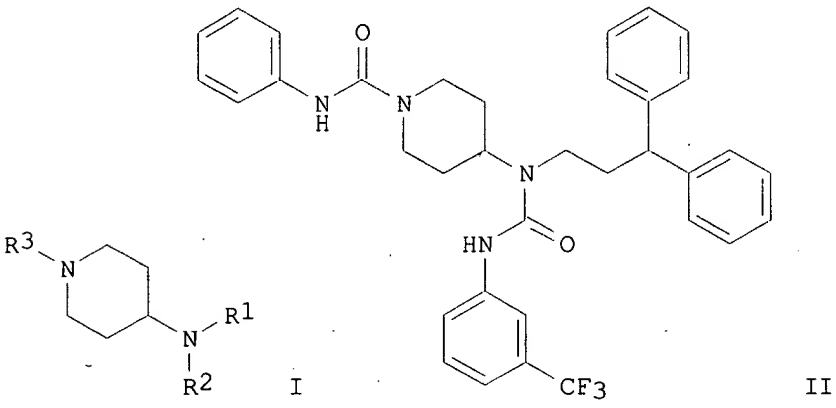
L16 ANSWER 10 OF 29 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 135:46106 MARPAT
TITLE: 4-Aminopiperidine derivatives, processes for their preparation, pharmaceutical compositions, and their use as medicines, specifically as somatostatin receptor ligands
INVENTOR(S): Thurieau, Christophe; Gonzalez, Jerome; Moinet, Christophe
PATENT ASSIGNEE(S): Societe de Conseils de Recherches et d'Applications Scientifiques (S.C.R.A.S.), Fr.
SOURCE: PCT Int. Appl., 193 pp.
CODEN: PIXXD2

Searched by Barb O'Bryen, STIC 308-4291

DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001044191	A1	20010621	WO 2000-FR3497	20001213
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2802206	A1	20010615	FR 1999-15724	19991214
EP 1286966	A1	20030305	EP 2000-993405	20001213
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003516965	T2	20030520	JP 2001-544681	20001213
PRIORITY APPLN. INFO.:			FR 1999-15724	19991214
			WO 2000-FR3497	20001213

GI

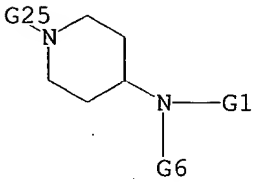


I II

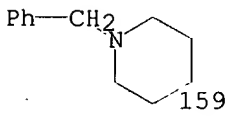
AB The invention concerns novel 4-aminopiperidine derivs. I [R1 = alkyl, alkenyl, alkynyl, (CH2)mYZ1, (CH2)mZ2, 1-benzylpiperidin-4-yl, 2-naphthylcarbamoyl, 4-benzylpiperazin-1-yl, 2-acetamidoethyl; Z1 = alkyl or (un)substituted aryl; Z2 = cyano, cyclohexenyl, bis-Ph, cycloalkyl, (un)substituted heterocycloalkyl, aryl, heteroaryl, etc.; R2 = C(Y)NHX1, C(O)X2, SO2X3; R3 = H, (un)substituted alkyl, alkenyl, alkynyl, aralkyl, C(Y)NHX1, (CH2)nC(O)X2, SO2X3, etc.; X1 = alkyl, alkenyl, alkynyl, aryl, aralkyl, etc.; X2 = wide variety of groups; X3 = alkyl, alkenyl, phenylalkenyl, CF3, (un)substituted (hetero)aryl or -aralkyl; Y = O, S; n = 0-4; m = 1-6]. Also disclosed are methods for their prepn. by parallel synthesis processes in liq. and solid phase. I have good affinity for certain sub-types of somatostatin receptors, and are particularly useful for treating pathol. conditions or diseases wherein one more somatostatin receptor sub-types are involved. Claims specifically mention acromegaly, pituitary adenoma, or endocrine gastroenteropanceatic tumors in carcinoid syndrome. A table of 778 compds. I is given, and several syntheses are described in detail. For instance, N-BOC-4-piperidone underwent reductive

amination with 3,3-diphenylpropylamine and NaBH(OAc)3, followed by reaction with 3-trifluoromethylphenyl isocyanate, removal of the BOC group with CF3CO2H, and reaction with Ph isocyanate, to give title compd. II. Some compds. I had sub-micromolar Ki for at least one of five tested somatostatin receptor subtypes (no data).

MSTR 1



G1 = 159



G6 = 309

C(O)-G11
309

G11 = adamantyl
MPL: claim 1
NTE: and pharmaceutically acceptable mineral or organic acid addition salts
NTE: substitution is restricted
NTE: also incorporates claim 11
STE: and racemic or enantiomeric forms

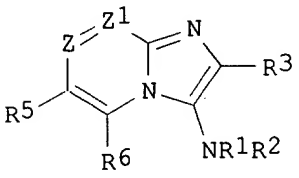
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 11 OF 29 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 134:295826 MARPAT
TITLE: Preparation of imidazopyridineamines and analogs as analgesics
INVENTOR(S): Gerlach, Matthias; Maul, Corinna
PATENT ASSIGNEE(S): Gruenenthal G.m.b.H., Germany
SOURCE: PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001027119	A2	20010419	WO 2000-EP9098	20000918
WO 2001027119	A3	20011011		

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ,

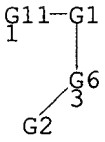
BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
DE 19948434 A1 20010607 DE 1999-19948434 19991008
PRIORITY APPLN. INFO.: DE 1999-19948434 19991008
GI



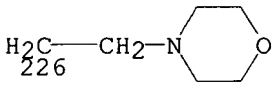
I

AB Substance libraries comprising, e.g., I [R1 = CMe3, cycloalkyl, (un)substituted Ph, etc.; R2 = H, cycloalkyl, alkanoyl, etc.; R3 = (cyclo)alkyl, (un)substituted (hetero)aryl, etc.; R5,R6 = H, halo, alkyl, alkoxy, etc.; Z = N or CR10; Z1 = N or CR9; R9,R10 = groups cited for R5; Z = N .noteq. Z1; Z1 = N .noteq. Z] were prepd. Thus, pyridine-2-amine was cyclocondensed with cyclohexanecarboxaldehyde and tert-Bu isocyanide to give I (R1 = CMe3, R2 = R5 = R6 = H, R3 = cyclohexyl, Z = Z1 = CH). Data for biol. activity of I were given.

MSTR 1



G2 = 226



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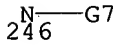
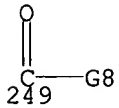
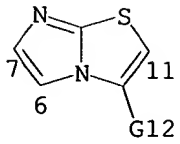


G7 = 249



G8 = adamantyl
G17 = 7-1 6-3 11-341



MPL: claim 1

L16 ANSWER 12 OF 29 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 134:295829 MARPAT
TITLE: Preparation of aminoimidazo[2,1-b]thiazoles, -pyrazoles, and -triazoles as analgesics
INVENTOR(S): Gerlach, Matthias; Maul, Corinna
PATENT ASSIGNEE(S): Gruenenthal G.m.b.H., Germany
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001027118	A2	20010419	WO 2000-EP9097	20000918
WO 2001027118	A3	20010920		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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DE 19948436	A1	20010607	DE 1999-19948436	19991008
BR 2000014817	A	20020618	BR 2000-14817	20000918
EP 1218383	A2	20020703	EP 2000-967693	20000918
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JP 2003511456	T2	20030325	JP 2001-530336	20000918
NO 2002001566	A	20020527	NO 2002-1566	20020403
US 2002183320	A1	20021205	US 2002-117335	20020408
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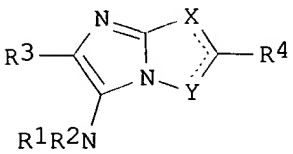
			WO 2000-EP9097	20000918

GI

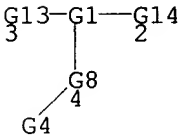


I

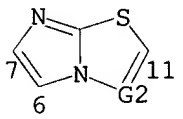
AB Title compds. [I; R1 = CMe3, cyanohexyl, (substituted) Ph, cycloalkyl, etc.; R2 = H, (branched) (substituted) alkylcarbonyl, Ph, naphthyl, pyridyl, thiazolyl, furoyl, etc.; R3 = (branched) alkylcycloalkyl,

(substituted) Ph, naphthyl, quinolinyl, anthracenyl, phenanthrenyl, etc.; X = CR5, N, S; Y = N, but when X = S, Y = CR6, N; R4, R5, R6 = H, (branched) alkyl, halo, CF3, cyano, NO2, amino, etc.], were prepd. Using a Zymark robotic synthesis system, 3-amino-1,2,4-triazole and HClO4 in CH2Cl2, furfural in CH2Cl2, and tert-butylisonitrile in CH2Cl2 were added successively to a reactor tube at 15.degree. followed by 11 h stirring at 15.degree. to give tert-butyl-(5-furan-2-yl-imidazo[1,2-b][1,2,4]triazol-6-yl)amine. Several I at 10 .mu.M showed 34-77% .alpha.2 adrenoceptor affinity.

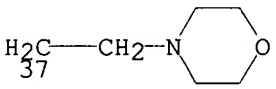
MSTR 1



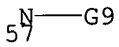
G1 = 7-3 6-4 11-2



G2 = N
G4 = 37



G8 = 57



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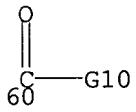

G10 = adamantyl
MPL: claim 1
NTE: substitution is restricted
STE: and pharmaceutically acceptable salts

L16 ANSWER 13 OF 29 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 134:56961 MARPAT
TITLE: Preparation of amino acid derivatives as serine protease inhibitors
INVENTOR(S): Liebeschuetz, John Walter; Young, Stephen Clinton; Lively, Sarah Elizabeth; Harrison, Martin James; Waszkowycz, Bohdan; Morgan, Phillip John

Searched by Barb O'Bryen, STIC 308-4291

PATENT ASSIGNEE(S): Protherics Molecular Design Ltd., UK
SOURCE: PCT Int. Appl., 126 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 13
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000077027	A2	20001221	WO 2000-GB2291	20000613
WO 2000077027	A3	20010525		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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WO 2001044226	A1	20010621	WO 2000-GB4764	20001213
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EP 1240154	A1	20020918	EP 2000-981478	20001213
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WO 2001096305	A1	20011220	WO 2001-GB2566	20010612
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EP 1294691	A1	20030326	EP 2001-938399	20010612
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US 2002055522	A1	20020509	US 2001-988082	20011119

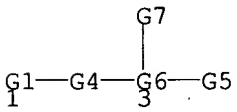
PRIORITY APPLN. INFO.:

GB 1999-13823	19990614
US 1999-142064P	19990702
GB 1999-18741	19990809
GB 1999-29552	19991214
GB 1999-29553	19991214
GB 1997-18392	19970829
GB 1998-3173	19980213
WO 1998-GB2605	19980828
US 2000-485678	20000225
WO 2000-GB2291	20000613
WO 2000-GB4764	20001213
WO 2001-GB2566	20010612

AB Compds. R2-X-X-Y(Cy)-L-Lp(D)n [R2 represents a 5- or 6-membered arom. carbon ring optionally interrupted by a N, O or S ring atom, substituted at the 3 and/or 4 position by aminoalkyl, and optionally substituted in

position alpha to the X-X group by amino, hydroxy, halo, alkyl, carboxy, cyano, amido, aminoalkyl, alkoxy or alkylthio; X is a C, N, O or S atom or a CO, CR1a, C(R1a)2 or NR1a group, where R1a represents H, OH, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, alkoxycarbonyl, acyloxymethoxycarbonyl or alkylamino optionally substituted by OH, alkylamino, alkoxy, oxo, aryl or cycloalkyl (at least of X is C or a substituted C group); L is an org. linker group contg. 1 to 5 backbone atoms selected from C, N, O and S, or a branched alkyl or cyclic group; Y is a N atom or a CR1b group (R1b defined as for R1a); Cy is an (un)substituted, (un)satd., mono- or polycyclic, homo- or heterocyclic group; Lp is a lipophilic org. group; D is a hydrogen bond donor group; n = 0-2] were prepd. for use as serine protease inhibitors. Thus, 3-(aminomethyl)benzoyl-D-phenylglycine 2-aminobenzothiazol-6-amide bis(trifluoroacetate) salt was prepd. from Boc-D-phenylglycine (Boc = tert-butoxycarbonyl) via amidation and acylation reactions. The synthesized compds. have been found to be inhibitors of tryptase by the method of Tapparelli et al. (1993).

MSTR 1



G1 = heteroaryl<EC (1-) Q (0-) N (0-) O (0-) S (0) OTHERQ, RC (1)> (SO)
G4 = CH=CH
G6 = N
G7 = Hy (SO)
G14 = C(O)
G15 = 1-adamantyl
MPL: claim 1
NTE: substitution is restricted
NTE: or physiologically tolerable salts
NTE: additional substitution also claimed

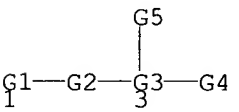
L16 ANSWER 14 OF 29 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 134:56957 MARPAT
TITLE: Preparation of amino acid derivatives as serine protease inhibitors
INVENTOR(S): Liebeschuetz, John Walter; Lyons, Amanda Jane; Murray, Christopher William; Rimmer, Andrew David; Young, Stephen Clinton; Camp, Nicholas Paul; Jones, Stuart Donald; Morgan, Phillip John; Richards, Simon James; Wylie, William Alexander; Lively, Sarah Elizabeth; Harrison, Martin James; Waszkowycz, Bohdan; Masters, John Joseph; Wiley, Michael John
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Protherics Molecular Design Limited
SOURCE: PCT Int. Appl., 350 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 13
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000076970	A2	20001221	WO 2000-GB2296	20000613

WO 2000076970 A3 20010719
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
EP 1192135 A2 20020403 EP 2000-938912 20000613
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO
PRIORITY APPLN. INFO.: GB 1999-13823 19990614
US 1999-142064P 19990702
GB 1999-18741 19990809
GB 1999-29552 19991214
GB 1999-29553 19991214
WO 2000-GB2296 20000613

AB Compds. R2-X-X-Y(Cy)-L-Lp(D)n [R2 represents a 5- or 6-membered arom. carbon ring optionally interrupted by a N, O or S ring atom, optionally substituted at the 3 and/or 4 position or forms a fused ring system at these positions, which is an optionally substituted 5 or 6 membered carbocyclic or heterocyclic ring; X is a C, N, O or S atom or a CO, CR1a, C(R1a)2 or NR1a group, where R1a represents H, OH, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, alkoxycarbonyl, alkylaminocarbonyl, alkoxycarbonylamino, acyloxymethoxycarbonyl or alkylamino optionally substituted by OH, alkylamino, alkoxy, oxo, aryl or cycloalkyl; L is an org. linker group contg. 1 to 5 backbone atoms selected from C, N, O and S, or a branched alkyl or cyclic group; Y is a N atom or a CR1b group (R1b defined as for R1a); Cy is an (un)substituted, (un)satd., mono- or polycyclic, homo- or heterocyclic group; Lp is a lipophilic org. group; D is a hydrogen bond donor group; n = 0-2] were prepd. for use as serine protease inhibitors. Compds. of the invention were found to significantly elongate the partial thromboplastin time (prothrombin time). Thus, 1-(3-amino-2-naphthoyl-D-phenylglycinyl)-4,4'-bispiperidine was prepd. and shown to double the prothrombin time at a concn. of 26 .mu.M.

MSTR 1



G1 = heteroaryl<EC (-10) A (1-) Q (0-) N (0-) O (0-) S (0) OTHERQ> (SO)
G2 = CH=CH
G3 = N
G5 = Hy (SO)
G19 = C(O)
G20 = 1-adamantyl
MPL: claim 1
NTE: or physiologically tolerable salts
NTE: substitution is restricted
NTE: additional substitution also claimed

L16 ANSWER 15 OF 29 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 132:334358 MARPAT
TITLE: Preparation of pyrrolidine compounds as antagonists of

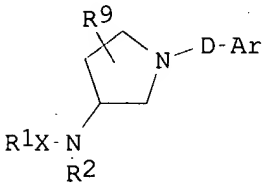
serotonin 2 receptor

INVENTOR(S): Kuroita, Takanobu; Fujio, Masakazu; Nakagawa, Haruto
PATENT ASSIGNEE(S): Yoshitomi Pharmaceutical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000026186	A1	20000511	WO 1999-JP6002	19991028
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9963673	A1	20000522	AU 1999-63673	19991028
EP 1125922	A1	20010822	EP 1999-951139	19991028
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6468998	B1	20021022	US 2001-830718	20010501
PRIORITY APPLN. INFO.:			JP 1998-311868	19981102
			WO 1999-JP6002	19991028

GI

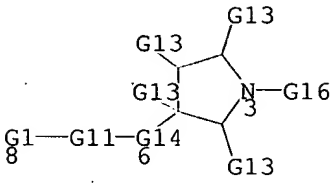


I

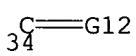
AB Described are pyrrolidine compds. represented by general formula [I; R1 = Q-Q5, etc. a proviso is given; R9 = H, C1-6 alkyl, C1-6 alkoxy, C1-6 hydroxyalkyl; X = CO, CS, NHCO, SO, SO2; R2 = H, alkyl, acyl, (un)substituted arylalkyl, (un)substituted arom. ring, heterocyclic ring contg. at least one atom selected from O, N, and S; D = C1-6 (un)substituted alkyl, alkenyl, etc], optically active isomers thereof or pharmaceutically acceptable salts of the same; and medicinal compns. contg. the compds. of general formula I, optically active isomers thereof or pharmaceutically acceptable salts of the same together with pharmaceutically acceptable additives. These compds. have an antagonism to serotonin 2 receptor, a platelet aggregation inhibitory effect, a peripheral circulation improving effect and a lacrimal secretion promoting effect, which makes them useful as drugs for thromboembolism, dry eye, etc. Thus, 2-(4-fluorophenyl)ethyl p-toluenesulfonate and (S)-N-(pyrrolidin-3-yl)-1-adamantanecarboxamide were dissolved in DMF and stirred with K2CO3 at 70.degree. for 5 h to give (S)-N-[1-[2-(4-fluorophenyl)ethyl]pyrrolidin-3-yl]-1-adamantanecarboxamide (II) which was converted into the HCl salt. II.HCl in vitro inhibited the binding of 3H-ketanserin to 5-HT2 receptor prepn. from rat cerebral cortex synapse with IC50 of 0.18 nM vs. sarpogrelate. It in vitro showed IC50 of 1.9 .mu.g/mL for inhibiting the collagen-induced rabbit blood platelet

aggregation vs. 260 and 1,378 for sarpogrelate and cilostazol, resp.

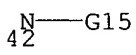
MSTR 1



G1 = 1-adamantyl
G11 = 34



G12 = O
G14 = 42



G15 = heteroaryl<EC (1-) Q (0-) N (0-) O (0-) S (0) OTHERQ> (SO)
DER: or pharmacologically acceptable salts
MPL: claim 1
NTE: substitution is restricted
NTE: additional ring formation and derivatization also claimed

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 16 OF 29 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 131:254656 MARPAT
TITLE: Fluorescent amplifier compounds and analytical reagents labeled with them
INVENTOR(S): Martin, Vladimir V.; Weis, Alexander
PATENT ASSIGNEE(S): USA
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

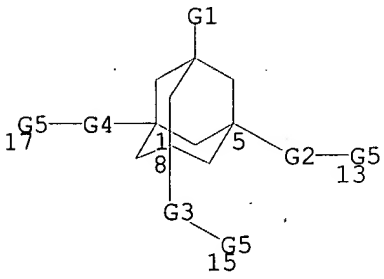
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9949831	A2	19991007	WO 1999-US203	19990105
WO 9949831	A3	20000127		

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

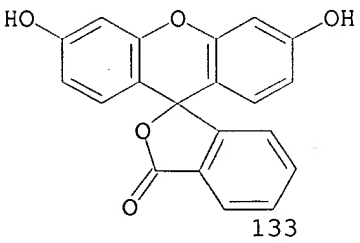
PRIORITY APPLN. INFO.: US 1998-79849P 19980328

AB Compns. of fluorescent amplifier mols. are provided, as well as synthetic methods for their prepn. The procedures provide for the prepn. of gram quantities of fluorescent mols. from available precursors. The fluorescent amplifier mols. include a multi-dimensional, rigid core, such as cubane or adamantane, to which at least two fluorescent moieties are attached by way of a linker. Amplifier mols. that further include a "targeting" mol. (a mol. that functions to direct the fluorescent amplifier to a particular binding site, e.g., streptavidin, an antibody, a nucleic acid) are also presented, the targeting mol. being attached to the rigid, multi-dimensional core. Signal amplification without steric restrictions of fluorophore mobility is provided, hence reducing and/or avoiding interactions between moieties as well as fluorescence quenching. The advantage of the derivs. of the present invention, in addn. to other things, over conventional fluorescent labels is due to the ability to amplify signal upon accumulation of the fluorescent moieties. The new amplified mols. are further disclosed to have the capability to quantitate the fluorescence signal. the compns. may also be employed as nucleic acid fluorescent -in-situ-hybridization probes. The synthesis of fluorescein-adamantane conjugates and the attachment of these conjugates to Ig and avidin are described.

MSTR 1



G5 = 133



G7 = 22

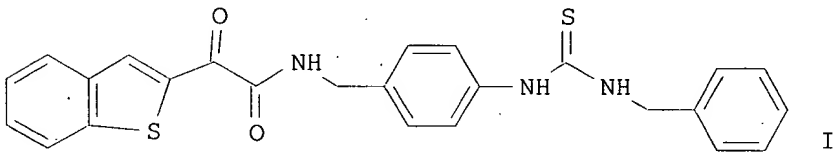
G8 = heteroaryl
G9 = C(O)
MPL: claim 21
NTE: additional three-dimensional core moieties also claimed

L16 ANSWER 17 OF 29 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 129:275833 MARPAT

TITLE: Combinatorial synthesis and screening of .alpha.-ketoamide-derivative cysteine protease inhibitors
INVENTOR(S): Blandino, Carmen M.; Coffen, David L.; Chipman, Stewart D.; Cheng, Hong
PATENT ASSIGNEE(S): Arqule, Inc., USA
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9846559	A1	19981022	WO 1998-US7747	19980416
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9871290	A1	19981111	AU 1998-71290	19980416
EP 975584	A1	20000202	EP 1998-918344	19980416
EP 975584	B1	20020925		
R: CH, DE, DK, FR, GB, IT, LI, NL, SE				
PRIORITY APPLN. INFO.:			US 1997-843584	19970416
			WO 1998-US7747	19980416

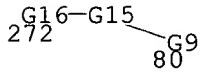
GI



I

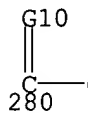
AB Via combinatorial synthesis, about 38,000 .alpha.-ketoamide derivs. were prepd. and the arrays screened, from which 6 compds. were isolated which had a high inhibitory activity against three cysteine proteases: cruzain, papain, and cathepsin B; these title compds. may be useful in the treatment of diseases (e.g., Chagas' disease) assocd. with these proteases. Thus, Me 2-(2-benzothienyl)-2-oxoethanoate was amidated with 4-aminobenzyl amine, the intermediate isolated and reacted with benzyl isothiocyanate, producing ther 2-benzothienyl .alpha.-ketoamide I which demonstrated an IC50 for cruzain of 2.2 .mu.M and 3.3 .mu.M for cathepsin B.

MSTR 2



G2 = 81

G7 = Hy<AR (0)> (SO (1-) G4)
G8 = Hy<AR (0)> (SO (1-) G4)
G9 = 280



G10 = O
G13 = adamantyl
MPL: claim 17
NTE: also incorporates claim 53

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

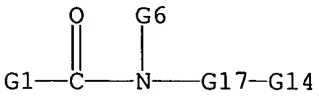
L16 ANSWER 18 OF 29 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 127:318771 MARPAT
TITLE: Preparation of bi- or polycycloalkylcarboxamide agrochemical fungicides
INVENTOR(S): Wetterich, Frank; Wagner, Oliver; Eicken, Karl; Ammermann, Eberhard; Strathmann, Siegfried; Lorenz, Gisela; Speakman, John-Bryan
PATENT ASSIGNEE(S): BASF Aktiengesellschaft, Germany; Wetterich, Frank; Wagner, Oliver; Eicken, Karl; Ammermann, Eberhard; Strathmann, Siegfried; Lorenz, Gisela; Speakman, John-Bryan
SOURCE: PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9735838	A1	19971002	WO 1997-EP1161	19970307
W: AU, BG, BR, CA, CN, CZ, GE, HU, IL, JP, KR, LV, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, US, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9720963	A1	19971017	AU 1997-20963	19970307
EP 888288	A1	19990107	EP 1997-906186	19970307
EP 888288	B1	20010613		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI				
JP 2000507249	T2	20000613	JP 1997-533976	19970307
ES 2158502	T3	20010901	ES 1997-906186	19970307
ZA 9702421	A	19980921	ZA 1997-2421	19970320
KR 2000004916	A	20000125	KR 1998-7471	19980921
KR 2000004916	A	20000125	KR 1998-707471	19980921
US 6090853	A	20000718	US 1998-155099	19980921
PRIORITY APPLN. INFO.:			DE 1996-19611350	19960322
			WO 1997-EP1161	19970307

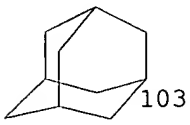
AB The title carboxamides R1CON(R2)C(R3)(R4)A [A = (un)substituted aryl or heteroaryl; R1 = bicycloalkyl, tricycloalkyl, bicycloalkenyl; R2-R4 = (un)halogenated alkyl, alkoxy, haloalkoxy, alkylthio, cycloalkyl, cycloalkenyl], useful as agrochem. fungicides, are prepd. Thus, 2-methylbicyclo[2.2.1]hept-5-ene-2-carboxylic acid was condensed with

racemic 1-amino-1-(4-chlorophenyl)ethane, producing 2-methylbicyclo[2.2.1]hept-5-ene-2-carboxy-1-(4-chlorophenyl)ethylamide, m.p. 118-122.degree., which demonstrated fungicidal activity against Pyricularia oryzae-infected rice plants at 250 ppm.

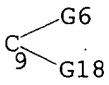
MSTR 1



G1 = 103



G6 = Hy (SO (1-) G12)
G17 = 9



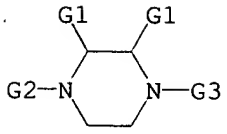
G18 = Hy (SO (1-) G12)
MPL: claim 1
NTE: substitution is restricted

L16 ANSWER 19 OF 29 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 127:225290 MARPAT
TITLE: Pharmaceutical compositions containing piperazine derivatives for the treatment of cancer
INVENTOR(S): Rhodes, Keith Frederick
PATENT ASSIGNEE(S): American Home Products Corporation, USA
SOURCE: Brit. UK Pat. Appl., 12 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

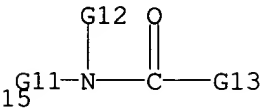
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2307858	A1	19970611	GB 1996-25316	19961205
GB 2307858	B2	19990728		

PRIORITY APPLN. INFO.: GB 1995-25239 19951209
AB Pharmaceutical compns. contg. piperazine derivs. (Markush structure given) are useful for the treatment of cancer. A tablet contained (-)-(R)-2,3,4,5,6,7-hexahydro-1-[4-[4-(2-methoxyphenyl)-piperazin-1-yl]-2-phenyl]butanoyl-1H-azepine 1, microcryst. cellulose 49.25, modified food corn starch 49.25, and magnesium stearate 0.5%.

MSTR 1



G3 = 15



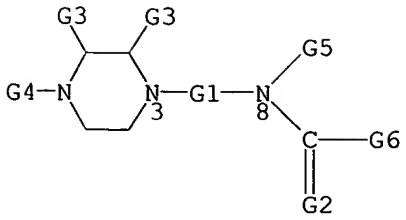
G11 = alkylene<(2-4)> (SO (1-) alkyl<(1-6)>)
G12 = heteroaryl<RC (1-2)>
G13 = adamantyl
DER: or pharmaceutically acceptable acid addition salts
MPL: claim 1

L16 ANSWER 20 OF 29 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 126:321097 MARPAT
TITLE: 5HT-1a and 5HT-2 antagonists for treating side-effects of serotonin re-uptake inhibitors
INVENTOR(S): Dourish, Colin Trevor; Fletcher, Allan; Mitchell, Paul John
PATENT ASSIGNEE(S): American Home Products Corporation, USA
SOURCE: Brit. UK Pat. Appl., 30 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2303303	A1	19970219	GB 1996-14578	19960711
GB 2303303	B2	19990915		
PRIORITY APPLN. INFO.:			GB 1995-14384	19950713

AB Side effects of serotonin re-uptake inhibitors (SRIs), e.g. fluoxetine which are used to treat depression may be prevented or reduced by administering a 5-HT1A or 5-HT2 antagonist, particularly, N-tert-butyl-3-[4-(2-methoxyphenyl)piperazin-1-yl]-2-phenylpropanamide, 2,3,4,5,6,7-hexahydro-1-[4[1-[4-(2-methoxyphenyl)-piperazinyl]]-2-phenyl]butanoyl-1H-azepine or N-[2[4-(2-methoxyphenyl)-1-piperazinyl]ethyl]-N-(2-pyridinyl) cyclohexanecarboxamide. Onset of the therapeutic effects of the SRI's is also hastened by administration of the above antagonists, e.g. in the form of tablets and capsules.

MSTR 1

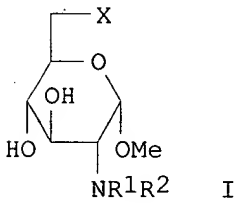


G1 = alkylene<(2-4)> (SO (1-) alkyl<(1-6)>)
G2 = O
G5 = heteroaryl<RC (1-2)> (SO (1-) G11)
G6 = adamantyl
DER: and pharmaceutically acceptable acid addition salts
MPL: claim 5

L16 ANSWER 21 OF 29 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 126:118156 MARPAT
TITLE: Preparation of methyl .alpha.-D-glucosaminide derivatives having leukocyte-increasing, infection-preventing, and antitumor activities
INVENTOR(S): Kurita, Hiroki; Imanishi, Yasuhiro; Ishida, Akihiko; Onda, Tokio; Oohashi, Motoaki
PATENT ASSIGNEE(S): Tanabe Seiyaku Co, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 25 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08301768	A2	19961119	JP 1995-112199	19950511

PRIORITY APPLN. INFO.: JP 1995-112199 19950511
GI



I

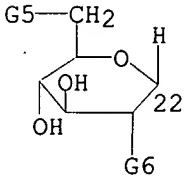
AB An infection preventive for the prevention and treatment of leukocyte-decreasing diseases, infections, or hereditary or acquired immunodeficiency contains glucosamine derivs. [I; X = NR4-Alk-R3 and R1 = R2 = H; X = OH or NR4-Alk-R3, one of R1 and R2 = Y-R11 or (un)substituted alkyl and the other = alkyl; wherein R3 = H, Ph, PhO, PhS, (un)protected PhNH, heterocyclyl; Y = CO, CS, SO2; R11 = (un)substituted alkyl, alkoxy, alkenyl, alkynyl, or Ph, cycloalkyl, tricycloalkyl, heterocyclyl, alkylamino; R4 = alkanoyl, alkenoyl, alkynoyl; Alk = alkylene] or pharmaceutically acceptable salt thereof. I are useful for the treatment and prevention of leukocyte-decreasing diseases, e.g. caused by radiotherapy, and effective for preventing infections from fungi and bacteria and in particular suitably applied for acquired immunodeficiency

caused by a temporary state of immunodeficiency after radiotherapy or therapy using immunosuppressants or can be administered together with antiinfectious or anticancer antibiotics to offset immunodeficiency. Thus, Me 2,6-dideoxy-2-amino-6-[N-stearoyl-N-(4-phenylbutyl)amino]-.alpha.-D-glucopyranoside was acylated by stearoyl chloride in the presence of K2CO3 in THF under ice-cooling for 1 h to give the title compd. I [R1 = H, R2 = stearoyl, X = N-stearoyl-N-(4-phenylbutyl)amino]. I [R1 = R2 = H, X = N-stearoyl-N-[3-(phenylamino)propyl]amino] was administered at 20 mg/kg/day for 5 consecutive days to mice infected with Pseudomonas aeruginosa, resulting in 100% survival rate after 7 days compared to the control animals.

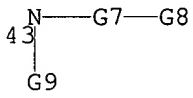
MSTR 1

G1—OMe

G1 = 22



G6 = 43



G7 = C(O)
G8 = adamantyl
G9 = alkyl<(1-30)> (SR (1-2) G10)
G10 = Hy<EC (0-) N (0-) S, RC (1-2)>
DER: or pharmacologically acceptable salts
MPL: claim 1
STE: 90, 97, 114, 126, 130, 135, 140, 145 - L; 104, 162, 177 - D; 122 - D or L

L16 ANSWER 22 OF 29 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 124:202240 MARPAT
TITLE: Preparation of 5-isothiazolylamide pesticides.
INVENTOR(S): Hackler, Ronald E.; Johnson, George W.; Samarintoni, Jack G.
PATENT ASSIGNEE(S): DowElanco, USA
SOURCE: PCT Int. Appl., 124 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

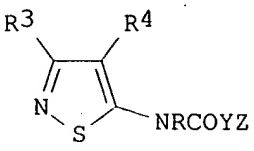
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9531448	A1	19951123	WO 1995-US6307	19950517

W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN,

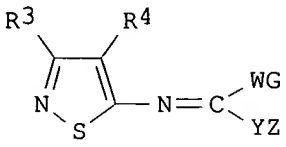
MW, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

CA 2189573	AA	19951123	CA 1995-2189573	19950517
AU 9526412	A1	19951205	AU 1995-26412	19950517
JP 10503171	T2	19980324	JP 1995-529898	19950517
PRIORITY APPLN. INFO.:			US 1994-245184	19940517
			WO 1995-US6307	19950517

GI



I



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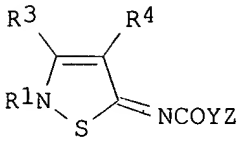

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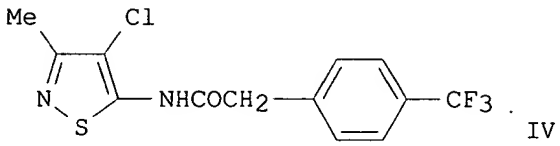
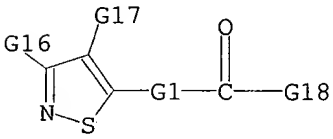


IV

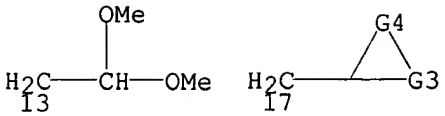
AB Title compds. [I, II, III; R, R1 = H, alkyl optionally substituted with CH2CH(OMe)2, halo, alkoxy, etc.; R3, R4 = H, (halo)alkyl, halo, (halo)alkoxy, carboalkoxy; R3R4 = atoms to form a 6-membered (unsatd.) ring; YZ = (unsatd.) (substituted) (heteroatom-contg.) hydrocarbyl, etc. WG = halo, SH, amino, etc.], were prepd. as nematocides, insecticides, miticides, and plant fungicides. Thus, 4-F3CC6H4CH2COCl (prepn. given) and 3-methyl-4-chloro-5-aminothiazole (prepn. given) were heated in xylene at 140.degree. to give title compd. (IV). Numerous title compds. at 50 ppm gave 100% control of aster leafhoppers, beet armyworms, cotton aphids, tobacco budworms, etc.

MSTR 1



G1 = 11

G2 = alkyl<(1-4)> (SO (1-) G47)
G3 = (1-4) CH2
G4 = O
G26 = 1-adamantyl
G47 = 13 / 17

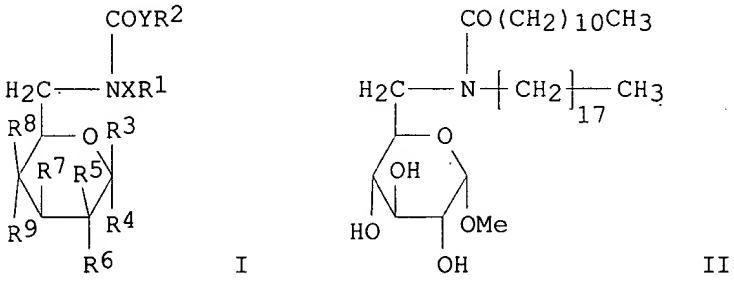


MPL: claim 1

L16 ANSWER 23 OF 29 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 123:160833 MARPAT
TITLE: Anti-infective agents containing acylamino sugars
INVENTOR(S): Kurita, Hiroki; Yamaguchi, Totaro; Onda, Tokio
PATENT ASSIGNEE(S): Tanabe Seiyaku Co, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 22 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07133226	A2	19950523	JP 1993-282564	19931111

PRIORITY APPLN. INFO.: JP 1993-282564 19931111
GI

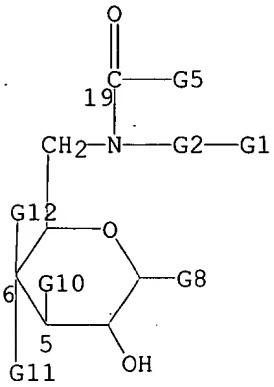


I II

AB Anti-infective agents contain acylamino sugars I [R1 = H, (substituted) aryl, (substituted) monocyclic or bicyclic heterocyclics contg. 1-2 heteroatom(s) chosen from N, O, and S; X = single bond, alkylene, alkenylene, alkynylene; R2 = (1) H, (2) (substituted) aryl, (substituted) monocyclic or bicyclic heterocyclyl contg. 1-2 heteroatom(s) chosen from N, O, and S, (3) (substituted) aryl-lower alkylcarbamoyl, (4) carbonyl substituted with residue of amino acid or amino acid ester from which 1 of H atom is removed from the amino group, (5) (esterified) carboxyl; Y = single bond, alkylene that may be substituted with cycloalkylene at the terminal, alkenylene, alkynylene, tricycloalkylene; either R3 or R4 is H and the other is lower alkoxy, (un)substituted phenoxy (R3 .noteq. R4); R5, R6 = H, OH (R5.noteq. R6); when R7 = OH then R8, R9 = H, OH (R8 .noteq. R9); when R7R8 forms lower alkylenedioxy then R9 = H] or their pharmacol. acceptable salts as active ingredients. Me 6-deoxy-6-(4-phenylbutyl)amino-.alpha.-D-glucopyranoside was treated with Et3N and octadecanoyl chloride in THF at room temp. overnight to give 73% Me 6-deoxy-6-[N-octadecanoyl-N-(4-phenylbutyl)amino]-.alpha.-D-glucopyranoside (II). Mice were administered s.c. with II at 80 mg/kg/day for 2 days and then infected with Candida albicans 20 h later to show

MSD50 (the days 50% of the mice die) of 23.3, vs. 8.5, for control. Me 6-deoxy-6-[N-dodecanoyl-N-(octadecanyl)amino]-.alpha.-D-glucopyranoside (at 400 mg/kg s.c.) showed no toxicity in mice.

MSTR 1

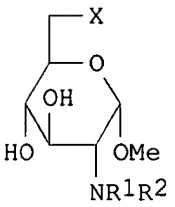


G1 = Hy<EC (1-2) Q (0-) N (0-) O (0-) S (0) OTHERQ, RC (1-2)> (SO)
G2 = alkylene
G5 = 1-adamantyl
MPL: claim 1
NTE: substitution is restricted

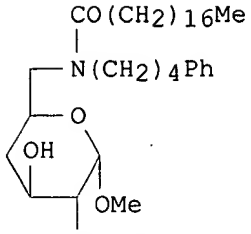
L16 ANSWER 24 OF 29 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 123:144504 MARPAT
TITLE: Glucosamine derivatives with antiinfective activity, process for preparing them, and synthetic intermediates.
INVENTOR(S): Kurita, Hironori; Imanishi, Yasuhiro; Ishida, Akihiko; Onta, Tokio; Ohashi, Motoaki
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 35 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 653434	A1	19950517	EP 1994-308306	19941110
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 07179488	A2	19950718	JP 1994-275446	19941110
CN 1105367	A	19950719	CN 1994-118232	19941114

PRIORITY APPLN. INFO.: JP 1993-283147 19931112
GI



I



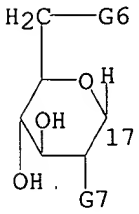
II

AB D-Glucosamine derivs. I [wherein (1) X = NR4(Alk-R3) and R1 and R2 = H; or (2) X = OH or NR4(Alk-R3) and one of R1 and R2 = YR11 or alkyl, other = H; or one of R1 and R2 = YR11, other = (un)substituted alkyl; Y = CO, CS or SO2; R11 = (un)substituted alkyl, alkoxy, alkenyl, alkynyl, Ph, cycloalkyl, tricycloalkyl, heterocyclyl or alkylamino; R3 = H, Ph, PhO, PhS, (un)protected phenylamino, or heterocyclic; R4 = alkanoyl, alkenoyl or alkynoyl; and Alk = alkylene; provided that compd. in which X = OH, one of R1 and R2 = Me, and other = Ac is excluded] and pharmaceutically acceptable salts are claimed, as are processes for prepn. of I, and certain synthetic intermediates. I have excellent leukocyte-increasing and infection-preventing activity (no data). The compds., characterized by an acylamino group at the 2- or 2,6-positions, are said to have lower toxicity than similar 1,2-substituted sugars. For example, Me 2,6-dideoxy-2-(benzyloxycarbonylamino)-6-(4-phenylbutylamino)-.alpha.-D-glucopyranoside underwent N-acylation by stearoyl chloride and K2CO3 in THF, followed by hydrogenolysis over Pd/C, N-acylation with Boc-Leu-OH, and acidic deprotection with CF3CO2H, to give title compd. II.

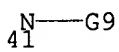
MSTR 1

G1—OMe

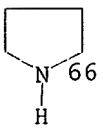
G1 = 17



G8 = 41



G9 = 66

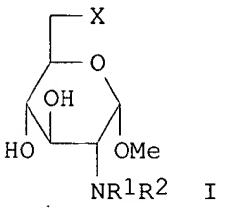


G10 = C(O)
G11 = adamantyl
DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted
STE: 3,17 - .alpha.-D-gluco

L16 ANSWER 25 OF 29 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 124:30263 MARPAT
TITLE: Preparation of glucosamine derivative having leukocyte-increasing and infection-preventing (antibacterial or antifungal) activity
INVENTOR(S): Kurita, Hironori; Imanishi, Yasuhiro; Ishida, Akihiro; Onta, Tokio; Ohashi, Motoaki
PATENT ASSIGNEE(S): Japan
SOURCE: Can. Pat. Appl., 55 pp.
CODEN: CPXXEB
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 2135536	AA	19950513	CA 1994-2135536	19941110
PRIORITY APPLN. INFO.:			JP 1993-314719	19931112

GI



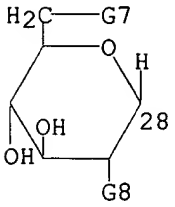
I

AB A D-glucosamine deriv. represented by the formula [I; X = NR4-Alk-R3 and R1 = R2 = H; or X = OH or NR4-Alk-R3 and one of R1 and R2 = Y-R11 or (un)substituted alkyl and the other = H; wherein Y = CO, CS or SO2; R11 = (un)substituted alkyl, lower alkoxy, alkenyl, or Ph, alkynyl, cycloalkyl, tricycloalkyl, heterocyclyl, alkylamino; R3 = H, Ph, PhO, PhS, (un)protected phenylamino, heterocyclyl; R4 = alkanoyl, alkenoyl, alkynoyl; Alk = alkylene; provided that a compd. in which X = OH and one of R1 and R2 = Me and the other = acetyl is excluded] or a pharmaceutically acceptable salt thereof, having leukocyte-increasing and infection-preventing (antibacterial or antifungal) activity (no data), is prepd. A method for the prophylaxis of an infectious disease, for the treatment of immunodeficiency, or for the prophylaxis or treatment of leukopenia comprises administering to an human or an animal a therapeutically effective amt. of I. Thus, Me 2,6-dideoxy-2-benzyloxycarbonylamino-6-(4-phenylbutylamino)-.alpha.-D-glucopyranoside was acylated by stearoyl chloride in aq. THF contg. K2CO3 under to give Me 2,6-dideoxy-2-benzyloxycarbonylamino-6-[N-stearoyl-N-4-(phenylbutyl)amino]-.alpha.-D-glucopyranoside which hydrogenolyzed in the presence of 10% Pd-C in MeOH to Me 2,6-dideoxy-2-amino-6-[N-stearoyl-N-4-(phenylbutyl)amino]-.alpha.-D-glucopyranoside and similarly acylated by stearoyl chloride to give Me 2,6-dideoxy-2-stearoylamino-6-[N-stearoyl-N-4-(phenylbutyl)amino]-.alpha.-D-glucopyranoside.

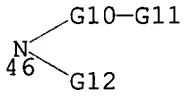
MSTR 1

G1---OMe

G1 = 28



G8 = 46



G10 = C(O)
G11 = adamantyl
G12 = alkyl<(1-30)> (SO (1-2) G13)
G13 = pyrrolidino
DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted

L16 ANSWER 26 OF 29 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 122:81743 MARPAT
TITLE: Preparation of substituted 6-azaandrostenones as 5.alpha.-testosterone reductase inhibitors
INVENTOR(S): Andrews, Robert Carl; Cribbs, Cynthia Markert; Frye, Stephen Vernon; Haffner, Curt Dale; Maloney, Patrick Reed
PATENT ASSIGNEE(S): Glaxo Inc., USA
SOURCE: PCT Int. Appl., 113 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9414833	A2	19940707	WO 1993-US12419	19931217
WO 9414833	A3	19940929		
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2152053	AA	19940707	CA 1993-2152053	19931217
AU 9460150	A1	19940719	AU 1994-60150	19931217
AU 673899	B2	19961128		
ZA 9309455	A	19940809	ZA 1993-9455	19931217
EP 674651	A1	19951004	EP 1994-906449	19931217

EP 674651	B1	19981028			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE					
HU 72083	A2	19960328	HU 1995-1775	19931217	
JP 08504825	T2	19960528	JP 1993-515375	19931217	
AT 172738	E	19981115	AT 1994-906449	19931217	
CN 1095383	A	19941123	CN 1993-119914	19931218	
US 5708001	A	19980113	US 1995-454166	19950607	
FI 9503009	A	19950815	FI 1995-3009	19950616	
NO 9502402	A	19950816	NO 1995-2402	19950616	
LV 10958	B	19961020	LV 1995-179	19950616	
PRIORITY APPLN. INFO.:			US 1992-993930	19921218	
			US 1993-80665	19930618	
			WO 1993-US12419	19931217	

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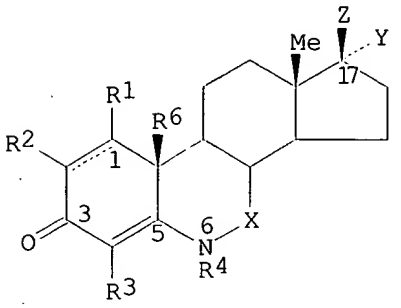
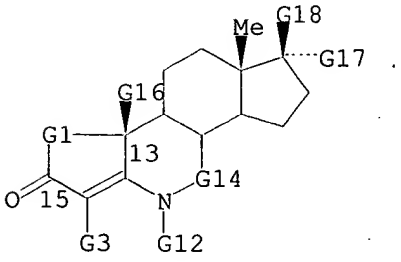


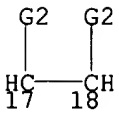
I

AB Title compds. I (R1, R2 =H, alkyl; R1R2 = CH2 forming a cyclopropane ring; R3 = H, (substituted) alkylene, -alkenylene, -alkynylene, substituted carboxy, substituted amido, substituted amino, etc.; R4 = H, alkylene, cycloalkyl, cycloalkylalkyl, etc.; R6 = H, Me; X = CH2, (substituted) CH2CH2; Y = H, HO; Z = (substituted) C1-12 alkylcarbonyl, (substituted) C2-12 alkenylene, etc.) or a salt thereof, are prepd. 17.beta.-Carboxy-6-(tert-butoxycarbonyl)-6-azaandrost-4-en-3-one (prepn. given) in MePh was treated with pyridine, catalytic DMF, and SOCl2, and the resulting acid chloride treated with methylenecyclohexylmagnesium bromide to give I (R1-4 = R6 = Y = H, X = CH2, Z = 1-oxo-2-cyclohexylethyl) showing in vitro inhibitory activity against 5.alpha.-testosterone reductase (type 1 and 2) ant rat prostatic with IC50 of <10 nM. Pharmaceutical formulations comprising I are given. I are claimed for treatment of benign prostatic hyperplasia, prostatitis, prostate cancer, acne, male pattern baldness and hirsutism.

MSTR 1A

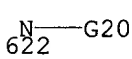


G1 = 17-15 18-13



G19 = Ak<EC (1-12) C, BD (0-) D (0-) T>
G20 = heteroaryl<EC (5-14) A (1-) Q (0-) O (0-) N (0-) S (0) OTHERQ> (SO)
G33 = 617

G35 = 622

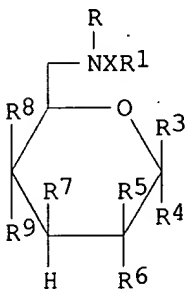


G43 = adamantyl
DER: or pharmaceutically acceptable salts or solvates
MPL: claim 1
NTE: substitution is restricted

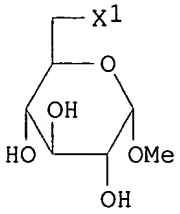
L16 ANSWER 27 OF 29 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 121:83887 MARPAT
TITLE: Preparation of N-acylamino sugar derivatives as immunostimulants
INVENTOR(S): Kurita, Hiroki; Yamaguchi, Totaro; Onda, Tokio
PATENT ASSIGNEE(S): Tanabe Seiyaku Co, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 25 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 06025276	A2	19940201	JP 1993-110438	19930512

PRIORITY APPLN. INFO.: JP 1992-165263 19920513
OTHER SOURCE(S): CASREACT 121:83887
GI



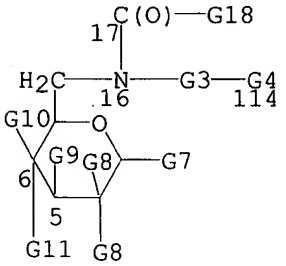
I



II

AB N-acylaminodeoxyhexopyranose derivs. [I; R = COYR2; R2 = H, (un)substituted aryl, heterocyclyl, or N-aryl-N-alkylcarbamoyl, CO group bonded to the NH group derived from an amino acid or its ester, ester of CO2H; R1 = H, (un)substituted aryl or heterocyclyl; Y = alkylene optionally having cycloalkylene group at the terminus, alkenylene, alkynylene, tricycloalkylene; R3, R4 = H, alkoxy, (un)substituted PhO; R5, R6 = H, OH; R7 = OH and R8, R9 = H, OH; R7R8 = lower .alpha.,.omega.-alkylenedioxy and R9 = H] are prepd. by reaction of aminodeoxyhexopyranose derivs. I (R = H; R1, X, R3 - R9 = same as above) with R2YCO2H (R2, Y = same as above) or its reactive deriv. N-acylamino sugar derivs. I show leukocyte prodn.-increasing activity, protective effect against infection with bacteria and fungi, and antitumor activity, and are used in combination with radiation therapy or chemotherapy using antibiotics or anticancer agents to offset immunodeficiency caused by these therapy (no data). Thus, Me 6-O-tosyl-.alpha.-D-glucopyranoside (II; X1 = p-tosyloxy) was heated with 4-phenylbutylamine in DMF at 90.degree. for 7 h to give 69% II (X1 = 4-phenylbutylamino) which was acylated by octadecanoyl chloride in THF contg. Et3N to give 73% II (N-octadecanoyl-4-phenylbutylamino).

MSTR 1



G3 = alkylene
G4 = Hy (SO)
G18 = adamantyl
DER: or pharmacologically acceptable salts
MPL: claim 1

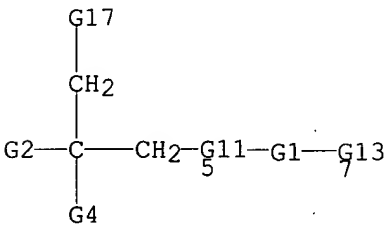
L16 ANSWER 28 OF 29 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 120:244327 MARPAT
TITLE: Preparation of 2-amino-3-arylpropylamines and analogs as psychotropics
INVENTOR(S): Rocher, Jean Philippe
PATENT ASSIGNEE(S): Battelle Memorial Institute, Switz.
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9322279	A1	19931111	WO 1993-CH106	19930422
W: AU, CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
ZA 9302761	A	19931028	ZA 1993-2761	19930420
CA 2112490	AA	19931111	CA 1993-2112490	19930422

AU 9338866	A1	19931129	AU 1993-38866	19930422
EP 605667	A1	19940713	EP 1993-907750	19930422
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 06509586	T2	19941027	JP 1993-518810	19930422
PRIORITY APPLN. INFO.:			CH 1992-1365	19920428
			WO 1993-CH106	19930422

AB RCH2CR1(NR2R3)CH2NR4Z(CR5R6)nY [R = (hetero)aryl(oxy), aralkoxy, arylamino, etc.; R1 = H, (cyclo)alkyl, aryl(alkyl), etc.; R2-R6 = H, (cyclo)alkyl, haloalkyl, aryl, alkanoyl, etc.; NR2R3 = heterocyclyl; R5R6 = atoms to complete a ring; Y = (cyclo)alkyl, alkenyl, aryl(oxy), alkanoyl, etc.; Z = bond, CH2, CO, SO, SO2; n = 0-8] sigma receptor ligands were prepd. Thus, 3,4-Cl2C6H3CH2CO2H was amidated by (S)-MeNHCH2CH(NHCO2CMe3)CH2Ph to give, after deprotection, (S)-3,4-Cl2C6H3CH2CONMeCH2CH(NH2)CH2Ph.HCL which gave 18.3 and 19.8 min delay of NMDA-induced convulsions and death, resp., at 1mg/kg i.v. in mice.

MSTR 1



G1 = C(O)
G3 = heteroaryl<EC (1-) Q (0-) O (0-) N (0-) S (0) OTHERQ, RC (1-2)>
G4 = Hy<EC (4-5) C (1-) Q (1) N, AN (1) N, BD (1-) D, RC (1), RS (1) M5 (1) X6>
G5 = alkyl<(1-10)> (SR (1-) G3)
G11 = 24

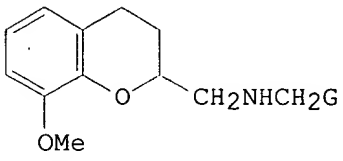
G13 = adamantyl (SO)
G17 = alkyl<(1-10)> (SO (1-) G16)
DER: and pharmaceutically acceptable mineral or organic acid salts
MPL: claim 1
NTE: additional ring formation allowed

L16 ANSWER 29 OF 29 MARPAT COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:	119:139103 MARPAT
TITLE:	Preparation of N-aralkyl-2-aminomethylchromans and analogs as serotoninergic agents
INVENTOR(S):	Schohe-Loop, Rudolf; Heine, Hans Georg; Junge, Bodo; Glaser, Thomas; Viktor de Vry, Jean Marie; Dompert, Wolfgang; Sommermeyer, Henning
PATENT ASSIGNEE(S):	Bayer A.-G., Germany
SOURCE:	Ger. Offen., 32 pp. CODEN: GWXXBX
DOCUMENT TYPE:	Patent
LANGUAGE:	German
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4135474	A1	19930429	DE 1991-4135474	19911028
AU 9226264	A1	19930429	AU 1992-26264	19921007
NO 9203975	A	19930429	NO 1992-3975	19921013
EP 540914	A1	19930512	EP 1992-117605	19921015
EP 540914	B1	19990602		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
AT 180777	E	19990615	AT 1992-117605	19921015
ES 2132105	T3	19990816	ES 1992-117605	19921015
US 5318988	A	19940607	US 1992-963203	19921019
CA 2081300	AA	19930429	CA 1992-2081300	19921023
ZA 9208291	A	19930506	ZA 1992-8291	19921027
HU 62875	A2	19930628	HU 1992-3383	19921028
JP 05194473	A2	19930803	JP 1992-312965	19921028
JP 3299321	B2	20020708		
US 5468882	A	19951121	US 1994-215995	19940322
US 5962513	A	19991005	US 1996-631386	19960412
PRIORITY APPLN. INFO.:			DE 1991-4135474	19911028
			US 1992-963203	19921019
			US 1994-215995	19940322
			US 1995-503793	19950718

GI



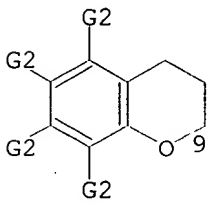
I

AB RCH2NR1EG [R = (arom. ring-substituted) 2-chromanyl; E = bond, (phenyl-substituted) alk(en)ylene, etc.; G = aryl, heterocyclyl, cycloalkyl, etc.; R1 = H, alkyl] were prepd. Thus, Et 8-methoxychroman-2-carboxylate was condensed with 1-aminomethylnaphthalene and the product reduced to give title compd. I (G = 1-naphthyl). I.HCl [G = C6H4(OMe)-4] had Ki = 5 nmol/L for binding at 5-HT, receptors in vitro.

MSTR 7

G1—CH_2—G15—C(O)-G10—G11

G1 = 9



G10 = Ak<EC (-10) C, BD (0-) D (0-) T> (SO Ph)
G11 = Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0) OTHERQ, RC (1), RS (1) M5 (1) X7> (SO (-3) G12) / adamantyl
G15 = 49

49 N---G16

MPL: claim 5

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FILE LAST UPDATED: 01 May 1997 (19970501/UP)

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